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Glaxo Wellcome Inc., Five Moore Drive, Research Triangle Park, NC 27709 (US). TIDWELL, Jeffrey, H. [US/US]; Glaxo Wellcome Inc., Five Moore Drive, Research Triangle Park, NC 27709 (US).

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(24) Agent: CRAWLEY, Karen; Glaxo Wellcome plc, Berkeley Avenue, Greenford, Middlesex UB6 0NN (GB).

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(71) Applicants (for all designated States except US): GLAXO GROUP LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford, Middlesex UB6 0NN (GB). PIANETTI, Pascal, Maurice, Charles [FR/FR]; Laboratoire Glaxo Wellcome, Centre de Recherches, Z.A. de Courboeuf, 25, avenue de Québec, F-91940 Les Ulis (FR).

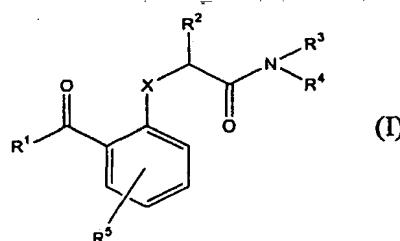
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(57) Abstract: The present invention includes benzophenone compounds (I) which are useful in the treatment of HIV infections.

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A. CLASSIFICATION OF SUBJECT MATTER						
IPC 7	C07D277/24	A61K31/16	A61K31/33	A61P31/00	C07D417/12	
	C07D307/80	C07D333/56	C07D207/32	C07D409/04	C07D279/34	
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B. FIELDS SEARCHED						
Minimum documentation searched (classification system followed by classification symbols)						
IPC 7 C07D C07C A61K A61P						
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C. DOCUMENTS CONSIDERED TO BE RELEVANT						
Category	Citation of document, with indication, where appropriate, of the relevant passages				Relevant to claim No.	
X	P G WYATT ET AL: "JOURNAL OF MEDICINAL CHEMISTRY, US, AMERICAN CHEMICAL SOCIETY. WASHINGTON" JOURNAL OF MEDICINAL CHEMISTRY, US, AMERICAN CHEMICAL SOCIETY. WASHINGTON, vol. 38, no. 10, 1995, pages 1657-1665, XP002084134 ISSN: 0022-2623 page 1658; table 1 page 1659; table 2 page 1660; table 3				1-37	
BA	P, X	WO 99 55682 A (UNIV GEORGETOWN) 4 November 1999 (1999-11-04) figure 10 -/-/				1
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A. CLASSIFICATION OF SUBJECT MATTER					
IPC 7	C07D277/64	C07D275/04	C07D233/84	C07D277/36	C07D277/28
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	C07D409/12	C07D333/22	C07D241/44	C07D213/50	C07D235/28

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Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
BB	X FR 1 552 793 A (ETS. CLYN-BILA) 10 January 1969 (1969-01-10) page 6; example 56	1
AA	X US 4 883 815 A (ASCHWENDEN WERNER ET AL) 28 November 1989 (1989-11-28) example 27	1
AB	X US 4 207 234 A (HASHIMOTO MASASHI ET AL) 10 June 1980 (1980-06-10) example 190	1
CB	X SUGASAWA, TSUTOMU ET AL: "1-Azacycloalkyl-1,4-benzodiazepin-2-ones with antianxiety- antidepressant actions" J. MED. CHEM. (1985), 28(6), 699-707 XP002159556 page 700; figure 15	1
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IPC 7 C07D235/06 C07D235/26 C07D209/08 C07C259/06 C07C235/24 C07D295/12 C07D249/18 C07D233/54 C07D333/38 C07D213/61 C07D401/12 C07C323/22 C07C317/24 C07C311/24 C07D213/64						
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CC	X	CAPUANO, LILLY ET AL: "Darstellung von alpha-'2- alkoxy carbonyl-anilino!- und alpha-'2-Acylanilino!-carbonsäureamiden" JUSTUS LIEBIGS ANN. CHEM. (1968), 712, 73-8, XP002159557 page 73; figure 4J page 78, paragraph 1				1
CD	X	BRANDL, E.: "Penicillin reactions. I. Behavior towards penicillinase" SCI. PHARM. (1971), 39(4), 267-92. XP000979965 page 279; example 95				1
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A. CLASSIFICATION F SUBJECT MATTER					
IPC 7	C07D333/72	C07D215/08	C07D217/06	C07D213/75	C07D261/16
	C07C311/08	C07D211/44	C07D407/12	C07D307/46	C07D333/54
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IPC 7	C07D213/85	C07D213/84	C07D333/32	C07C255/56	C07C275/10
	C07D295/22	C07C255/44	C07D295/14	C07C237/42	

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Information on patent family members

Intell. Final Application No
PCT/EP 00/08487

Patent document cited in search report	Publication date	Patent family member(s)		Publication date
WO 9955682	A 04-11-1999	AU 3669199 A		16-11-1999
FR 1552793	A 10-01-1969	DE 1643317 A		16-06-1971
		GB 1197597 A		08-07-1970
		US 3551478 A		29-12-1970
US 4883815	A 28-11-1989	AU 603470 B		15-11-1990
		AU 1917688 A		27-01-1989
		CN 1036952 A,B		08-11-1989
		DD 277071 A		21-03-1990
		DK 405888 A		22-01-1989
		EP 0300371 A		25-01-1989
		FI 883441 A		22-01-1989
		GB 2207135 A,B		25-01-1989
		HU 47077 A,B		30-01-1989
		IN 167395 A		20-10-1990
		JP 1047748 A		22-02-1989
		MC 1960 A		30-06-1989
		MT 1023 A		18-07-1989
		MW 2688 A		12-04-1989
		NO 883233 A		23-01-1989
		NZ 225442 A		28-05-1991
		OA 8746 A		31-03-1989
		PT 88041 A		30-06-1989
		US 5017608 A		21-05-1991
		YU 39890 A		31-10-1991
		YU 141488 A		30-06-1990
		ZA 8805102 A		29-03-1989
		ZW 9288 A		01-02-1989
US 4207234	A 10-06-1980	US 4472300 A		18-09-1984